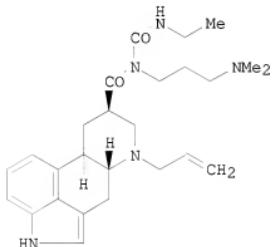


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THE ESTIMATED COST FOR THIS REQUEST IS 17.43 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L7 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1359036 CAPLUS
DOCUMENT NUMBER: 149:556830
TITLE: A new and efficient process for the preparation of cabergoline and its intermediates
INVENTOR(S): Li, Yuanqiang; Wang, Zhi-Xian; Kondamreddy, Murali;
Cai, Xiongwei
PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.
SOURCE: Can. Pat. Appl., 35pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2587880	A1	20081104	CA 2007-2587880	20070504
PRIORITY APPLN. INFO.:			CA 2007-2587880	20070504
OTHER SOURCE(S):	CASREACT 149:556830; MARPAT 149:556830			
GI				



AB This invention relates to a new and efficient process for the production of dopamine agonists such as cabergoline (I) and the intermediates thereof.

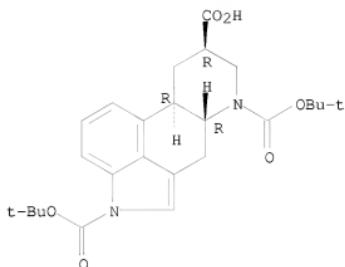
IT 1075250-76-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the preparation of cabergoline, an ergoline alkaloid with therapeutic usefulness as a dopamine receptor agonist)

RN 1075250-76-8 CAPLUS

CN Ergoline-1,6,8-tricarboxylic acid, 1,6-bis(1,1-dimethylethyl) ester, (8 β)- (CA INDEX NAME)

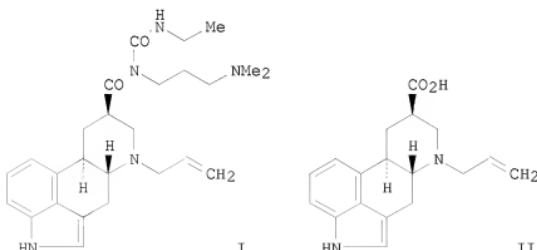
Absolute stereochemistry.



L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2008:1339686 CAPLUS
 DOCUMENT NUMBER: 149:534450
 TITLE: New and efficient process for the preparation of cabergoline and its intermediates
 INVENTOR(S): Wang, Zhi-Xian; Li, Yuanqiang; Kondamreddy, Murali;
 Cai, Xiongwei
 PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.
 SOURCE: U.S. Pat. Appl. Publ., 19pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080275240	A1	20081106	US 2007-797510	20070504
PRIORITY APPLN. INFO.:			US 2007-797510	20070504

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 149:534450; MARPAT 149:534450
 GI



AB A process was disclosed for the production of dopamine agonists, such as the

ergoline alkaloid cabergoline (I), and the intermediates thereof. Thus, cabergoline was prepared via a multistep synthetic sequence that started from lysergol and concluded with an amidation reaction with 54.7% yield of acid II with 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride using Et₃N in CH₂Cl₂ at rt for 20 h.

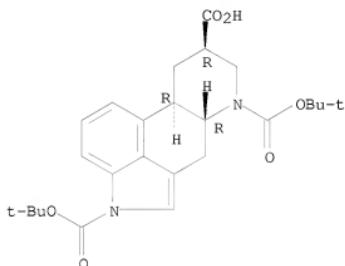
IT 1075250-76-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (process for preparation of cabergoline, a therapeutically useful dopamine agonist and prolactin inhibitor)

RN 1075250-76-8 CAPLUS

CN Ergoline-1,6,8-tricarboxylic acid, 1,6-bis(1,1-dimethylethyl) ester,
 (8β)- (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1004742 CAPLUS

DOCUMENT NUMBER: 143:286587

TITLE: Novel process for production of cabergoline
 INVENTOR(S): Galambos, Janos; Czibula, Laszlo; Sebok, Ferenc;
 Balint, Sandorne; Kassai, Ferencne; Ignaczne Szendrei,
 Gyoergy; Demeter, Adam

PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar Rt., Hung.

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

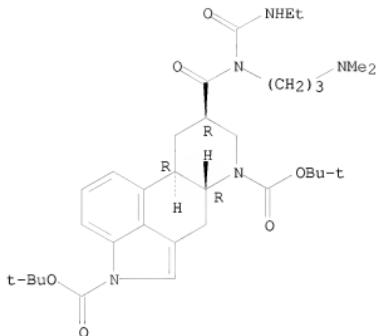
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085243	A2	20050915	WO 2005-HU22	20050302
WO 2005085243	A3	20060406		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 HU 2004000517 A2 20051128 HU 2004-517 20040304
 HU 2004000517 A3 20060529 EP 2005-718154 20050302
 EP 1720869 A2 20061115 EP 2005-718154 20050302
 EP 1720869 B1 20100526 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
 AT 469149 T 20100615 AT 2005-718154 20050302
 IN 2006KN02135 A 20070518 IN 2006-KN2135 20060728
 US 20070293677 A1 20071220 US 2007-591202 20070613
 PRIORITY APPLN. INFO.: HU 2004-517 A 20040304
 WO 2005-HU22 W 20050302
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 143:286587; MARPAT 143:286587
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for preparing cabergoline (I) from ergoline-8 β -carboxylic acid ester (II; R = H; R1 = C1-4 alkyl) comprises the following steps: (a) reacting an ergoline-8 β -carboxylic acid ester II (R = H), in the presence of a catalyst (i) with a compound X-COOR2 [R2 = (un)substituted straight or branched C1-6 alkyl, X = Br, Cl], or (ii) with a compound O(COOR2)2; (b) reacting the obtained carbamate derivative II (R = CO2R2) with 3-(dimethylamino)propylamine (DMAPA) in the presence of a catalyst; (c) reacting the obtained ergoline-8 β -carboxamide derivative III (R = CO2R2) with Et isocyanate (EtNCO) in the presence of ligand(s) and Ib and IIb metal group salt catalysts; (d) reacting the obtained protected N-acylurea derivative IV (R = CO2R2) with a strong aqueous inorg. acid; (e) reacting the obtained secondary amine V with an electrophilic allyl alc. derivative in the presence of a palladium or nickel containing catalyst and optionally in the presence of ligand(s) to form I. The intermediates of II (R = CO2R2), III (R = CO2R2), IV (R = CO2R2) and V are novel. The polymorphic amorphous form of I and the production thereof.
 IT 864366-98-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and N-dealkoxycarbonylation of; novel process for production of cabergoline polymorphs)
 RN 864366-98-3 CAPLUS
 CN Ergoline-1,6-dicarboxylic acid, 8-[[[3-(dimethylamino)propyl]((ethylamino)carbonyl)amino]carbonyl]-, bis(1,1-dimethylethyl) ester, (8 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



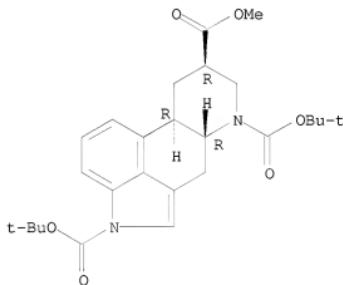
IT 864447-98-3P, 1,6-Di(tert-butoxycarbonyl)ergoline-8 β -carboxylic acid methyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of, with (dimethylamino)propylamine; novel process for production of cabergoline polymorphs)

RN 864447-98-3 CAPLUS

CN Ergoline-1,6,8-tricarboxylic acid, 1,6-bis(1,1-dimethylethyl) 8-methyl ester, (8 β)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 864366-97-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and carbamylation of, with Et isocyanate; novel process for production of cabergoline polymorphs)

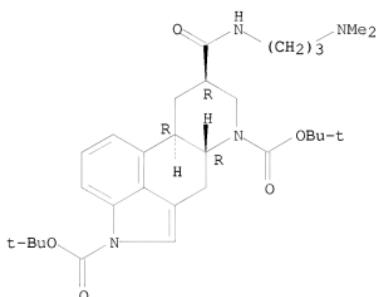
RN 864366-97-2 CAPLUS

CN Ergoline-1,6-dicarboxylic acid, 8-[[[3-(dimethylamino)propyl]amino]carbonyl]-, bis(1,1-dimethylethyl) ester,

10/591,202

(8 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN

L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN

L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
RE CITED REFERENCES

- (1) Anon; WO 02085902 A1 CAPLUS
- (2) Anon; US 20020177709 A1
- (3) Anon; US 5382669 A CAPLUS

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L3 4 S L1 FULL

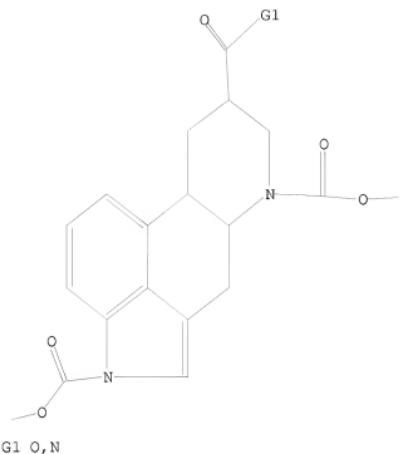
FILE 'CAPLUS' ENTERED AT 14:10:19 ON 24 SEP 2010

L4 3 S L3
L5 735 S CABERGOLINE
L6 3 S L4 AND L5
L7 3 S L4 OR L6

=> d 11

L1 HAS NO ANSWERS
L1 STR

10/591,202



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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